

or an acid addition salt thereof, wherein the radicals R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and Z have the following meanings:

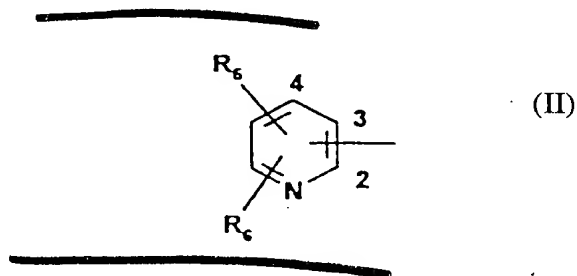
R represents

- (1) hydrogen, or
- (2) (C<sub>1</sub>-C<sub>4</sub>)-alkyl, wherein the alkyl group is optionally mono- or polysubstituted by a phenyl ring,

which ring is optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, carbonyl groups, carboxyl groups esterified with (C<sub>1</sub>-C<sub>6</sub>)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy groups, ethoxy groups, benzyloxy groups and benzyl groups which are optionally mono- or polysubstituted on the phenyl moiety by (C<sub>1</sub>-C<sub>6</sub>)-alkyl groups, halogen atoms or trifluoromethyl groups;

R<sub>1</sub> represents

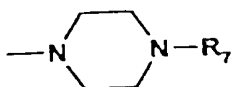
- (1) a phenyl ring which is mono- or polysubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, hydroxyl, benzyloxy, nitro, amino, (C<sub>1</sub>-C<sub>6</sub>)-alkylamino, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy-carbonylamino and by a carboxyl group or a carboxyl group esterified by a (C<sub>1</sub>-C<sub>6</sub>)-alcohol;
- (2) a pyridine structure of formula II:



- wherein the pyridine structure is alternatively bonded to the ring carbon atoms 2, 3 and 4 and is optionally substituted by  $R_5$  and  $R_6$ , which may be identical or different and represent  $(C_1-C_6)$ -alkyl,  $(C_3-C_7)$  cycloalkyl,  $(C_1-C_6)$ alkoxy, nitro, amino, hydroxyl, halogen, trifluoromethyl, an ethoxycarbonylamino radical and a carboxyalkyloxy group in which the alkyl group has 1-4 carbon atoms;
- (3) [a 2- or 4-pyrimidinyl-heterocycle or] a pyridylmethyl radical in which  $CH_2$  is in the 2-, 3- or 4- position[, wherein the 2- pyrimidinyl ring is optionally mono- or polysubstituted by a methyl group];
- (4) a 2-, 3- or 4-quinolyl structure substituted by  $(C_1-C_6)$ -alkyl, halogen, a nitro group, an amino group or a  $(C_1-C_6)$ -alkylamino radical;
- (5) a 2-, 3- or 4-quinolyl methyl group, wherein the ring carbons of the pyridylmethyl and quinolylmethyl radicals are optionally substituted by  $(C_1-C_6)$ -alkyl,  $(C_1-C_6)$ -alkoxy, nitro, amino and  $(C_1-C_6)$ -alkoxy-carbonylamino;
- (6) if R represents hydrogen or a benzyl group,  $R_1$  can represent the acid radical of a natural amino acid, wherein the amino group of said amino acid is present in protected or unprotected form wherein if  $R_1$  represents an asparagyl or a glutamyl radical having a second nonbonded carboxyl group, said nonbonded carboxyl group is present as a free carboxyl group or in the form of an ester with  $C_1-C_6$ -alkanols;
- (7) an allylaminocarbonyl-2-methylprop-1-yl group; [or

$R_1$  and R, together with the nitrogen atom to which they are bonded, form a piperazine ring of formula III:

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(III)

or a homopiperazine ring if R<sub>1</sub> represents an aminoalkylene group, in which R<sub>7</sub> represents an alkyl radical, a phenyl ring which is optionally mono- or polysubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, halogen, a nitro group, an amino function, (C<sub>1</sub>-C<sub>6</sub>)-alkylamino, benzhydryl group and bis-p-fluorobenzylhydryl group;]

R<sub>2</sub> represents

- (1) hydrogen;
- (2) a (C<sub>1</sub>-C<sub>6</sub>)-alkyl group,

said alkyl group being optionally mono- or polysubstituted by halogen or a phenyl ring,

which ring is optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, carbonyl groups, carboxyl groups esterified with (C<sub>1</sub>-C<sub>6</sub>)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy groups, ethoxy groups, or benzyloxy groups;

or by a 2-quinolyl group or a 2-,3- or 4-pyridyl structure

which are optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl groups or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy groups;

- (3) an aroyl radical, wherein the aroyl moiety on which the radical is based is a phenyl ring which is optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, carbonyl groups, carboxyl groups esterified with (C<sub>1</sub>-

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C<sub>6</sub>)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy groups, ethoxy groups, or benzyloxy groups;

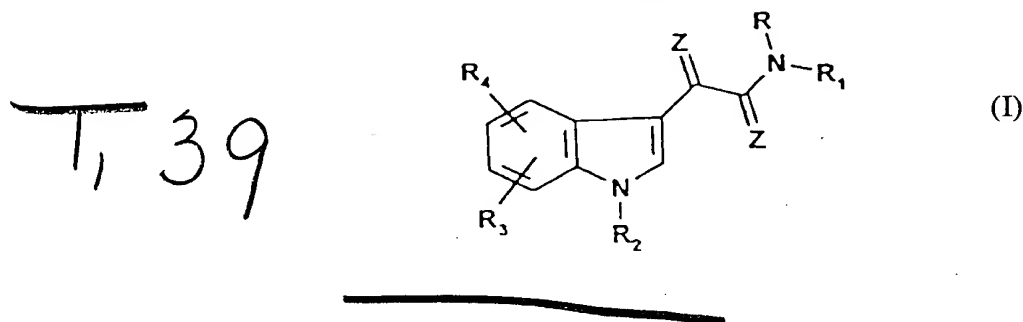
R<sub>3</sub> and R<sub>4</sub>, which are identical or different, represent hydrogen, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, halogen, benzoxy, a nitro group, an amino group, a (C<sub>1</sub>-C<sub>4</sub>)-mono- or dialkyl substituted amino group, a (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonylamino function or a (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkyl function; and

Z represents O or S;

wherein alkyl, alkanol, alkoxy and alkylamino groups may be straight chained or branched.

210. (Amended) The N-substituted indol-3-glyoxylamide of claim 1 wherein R is hydrogen or a benzyl group and R<sub>1</sub> is the acid radical of an amino acid selected from the group consisting of  $\alpha$ -glycyl,  $\alpha$ -alanyl,  $\alpha$ -leucyl,  $\alpha$ -isoleucyl,  $\alpha$ -seryl,  $\alpha$ -phenylalanyl, [ $\alpha$ -histidyl,  $\alpha$ -prolyl,]  $\alpha$ -arginyl,  $\alpha$ -lysyl,  $\alpha$ -asparagyl and  $\alpha$ -glutamyl.

~~10~~ 13. (Amended) A method of treating asthma and/or allergy in a mammal comprising the step of administering to said mammal a treatment-effective amount of a compound of formula I:



or an acid addition salt thereof, wherein the radicals R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and Z have the following meanings:

R represents

- (1) hydrogen, or
- (2) (C<sub>1</sub>-C<sub>4</sub>)-alkyl, wherein the alkyl group is optionally mono- or polysubstituted by a phenyl ring,

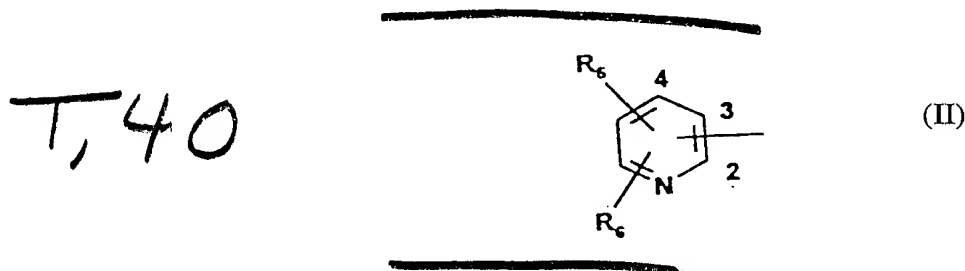
which ring is optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, carbonyl groups, carboxyl groups esterified with (C<sub>1</sub>-C<sub>6</sub>)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy groups, ethoxy groups, benzyloxy groups and benzyl groups which are optionally mono- or polysubstituted on the phenyl moiety by (C<sub>1</sub>-C<sub>6</sub>)-alkyl groups, halogen atoms or trifluoromethyl groups;

R<sub>1</sub> represents

- (1) a phenyl ring which is mono- or polysubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, hydroxyl, benzyloxy, nitro, amino, (C<sub>1</sub>-C<sub>6</sub>)-alkylamino, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy-

carbonylamino and by a carboxyl group or a carboxyl group esterified by a (C<sub>1</sub>-C<sub>6</sub>)-alkanol;

(2) a pyridine structure of formula II:



wherein the pyridine structure is alternatively bonded to the ring carbon atoms 2, 3 and 4 and is optionally substituted by R<sub>5</sub> and R<sub>6</sub>, which may be identical or different and represent (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, amino, hydroxyl, halogen, trifluoromethyl, an ethoxycarbonylamino radical and a carboxyalkyloxy group in which the alkyl group has 1-4 carbon atoms;

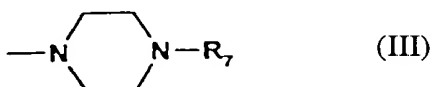
- (3) [a 2- or 4-pyrimidinyl-heterocycle or] a pyridylmethyl radical in which CH<sub>2</sub> is in the 2-, 3- or 4- position[, wherein the 2- pyrimidinyl ring is optionally mono- or polysubstituted by a methyl group];
- (4) a 2-, 3- or 4-quinolyl structure substituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, halogen, a nitro group, an amino group or a (C<sub>1</sub>-C<sub>6</sub>)-alkylamino radical;
- (5) a 2-, 3- or 4-quinolyl methyl group, wherein the ring carbons of the pyridylmethyl and quinolylmethyl radicals are optionally substituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, nitro, amino and (C<sub>1</sub>-C<sub>6</sub>)-alkoxy-carbonylamino;
- (6) if R represents hydrogen or a benzyl group, R<sub>1</sub> can represent the acid radical of a natural amino acid, wherein the amino group of said amino acid is present in protected or unprotected form wherein if R<sub>1</sub> represents an asparagyl or a glutamyl

radical having a second nonbonded carboxyl group, said nonbonded carboxyl group is present as a free carboxyl group or in the form of an ester with C<sub>1</sub>-C<sub>6</sub>-alkanols;

(7) an allylaminocarbonyl-2-methylprop-1-yl group;[or

R<sub>1</sub> and R, together with the nitrogen atom to which they are bonded, form a piperazine ring of formula III:

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or a homopiperazine ring if R<sub>1</sub> represents an aminoalkylene group, in which R<sub>7</sub> represents an alkyl radical, a phenyl ring which is optionally mono- or polysubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, halogen, a nitro group, an amino function, (C<sub>1</sub>-C<sub>6</sub>)-alkylamino, benzhydryl group and bis-p-fluorobenzylhydryl group;]

R<sub>2</sub> represents

- (1) hydrogen;
- (2) a (C<sub>1</sub>-C<sub>6</sub>)-alkyl group,

said alkyl group being optionally mono- or polysubstituted by halogen or a phenyl ring,

which ring is optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, carbonyl groups, carboxyl groups esterified

with (C<sub>1</sub>-C<sub>6</sub>)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy groups, ethoxy groups, or benzyloxy groups;

or by a 2-quinolyl group or a 2-,3- or 4-pyridyl structure

which are optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl groups or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy groups;

- (3) an aroyl radical, wherein the aroyl moiety on which the radical is based is a phenyl ring which is optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, carbonyl groups, carboxyl groups esterified with (C<sub>1</sub>-C<sub>6</sub>)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy groups, ethoxy groups, or benzyloxy groups;

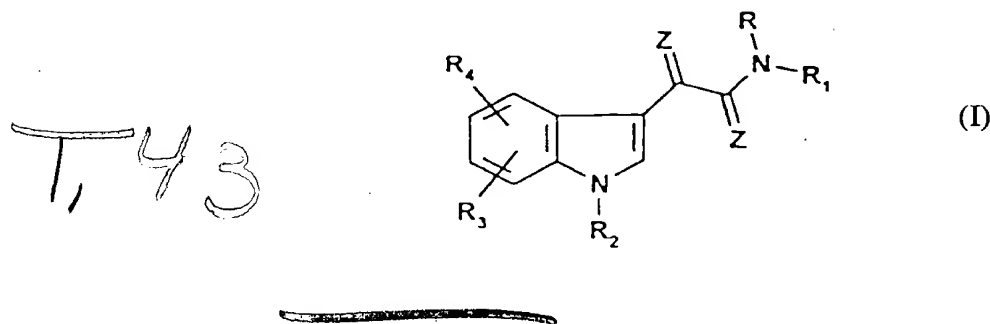
R<sub>3</sub> and R<sub>4</sub>, which are identical or different, represent hydrogen, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, halogen, benzoxy, a nitro group, an amino group, a (C<sub>1</sub>-C<sub>4</sub>)-mono- or dialkyl substituted amino group, a (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonylamino function or a (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkyl function; and

Z represents O or S;

wherein alkyl, alkanol, alkoxy and alkylamino groups may be straight chained or branched.



~~M~~ 14. (Amended) A method of inducing regression of an immunological reaction in a mammal comprising the step of administering to said mammal an effective amount of a compound according to formula I:



or an acid addition salt thereof, wherein the radicals R, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and Z have the following meanings:

R represents

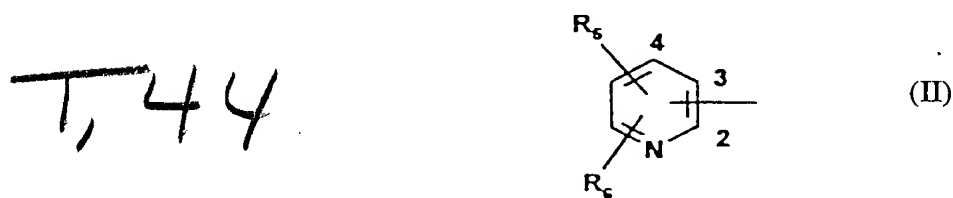
- (1) hydrogen, or
- (2) (C<sub>1</sub>-C<sub>4</sub>)-alkyl, wherein the alkyl group is optionally mono- or polysubstituted by a phenyl ring,

which ring is optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, carbonyl groups, carboxyl groups esterified with (C<sub>1</sub>-C<sub>6</sub>)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy groups, ethoxy groups, benzyloxy groups and benzyl groups which are optionally mono- or polysubstituted on the phenyl moiety by (C<sub>1</sub>-C<sub>6</sub>)alkyl groups, halogen atoms or trifluoromethyl groups;

R<sub>1</sub> represents

- (1) a phenyl ring which is mono- or polysubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, hydroxyl, benzyloxy, nitro, amino, (C<sub>1</sub>-C<sub>6</sub>)-alkylamino, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy-carbonylamino and by a carboxyl group or a carboxyl group esterified by a (C<sub>1</sub>-C<sub>6</sub>)-alkanol;

- (2) a pyridine structure of formula II:



wherein the pyridine structure is alternatively bonded to the ring carbon atoms 2, 3 and 4 and is optionally substituted by R<sub>5</sub> and R<sub>6</sub>, which may be identical or different and represent (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>) cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)alkoxy, nitro, amino, hydroxyl, halogen, trifluoromethyl, an ethoxycarbonylamino radical and a carboxyalkyloxy group in which the alkyl group has 1-4 carbon atoms;

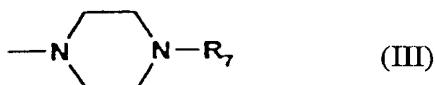
- (3) [a 2- or 4-pyrimidinyl-heterocycle or] a pyridylmethyl radical in which CH<sub>2</sub> is in the 2-, 3- or 4- position[, wherein the 2- pyrimidinyl ring is optionally mono- or polysubstituted by a methyl group];
- (4) a 2-, 3- or 4-quinolyl structure substituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, halogen, a nitro group, an amino group or a (C<sub>1</sub>-C<sub>6</sub>)-alkylamino radical;
- (5) a 2-, 3- or 4-quinolyl methyl group, wherein the ring carbons of the pyridylmethyl and quinolylmethyl radicals are optionally substituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, nitro, amino and (C<sub>1</sub>-C<sub>6</sub>)-alkoxy-carbonylamino;

(6) if R represents hydrogen or a benzyl group, R<sub>1</sub> can represent the acid radical of a natural amino acid, wherein the amino group of said amino acid is present in protected or unprotected form wherein if R<sub>1</sub> represents an asparagyl or a glutamyl radical having a second nonbonded carboxyl group, said nonbonded carboxyl group is present as a free carboxyl group or in the form of an ester with C<sub>1</sub>-C<sub>6</sub>-alkanols;

(7) an allylaminocarbonyl-2-methylprop-1-yl group; [or

R<sub>1</sub> and R, together with the nitrogen atom to which they are bonded, form a piperazine ring of formula III:

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or a homopiperazine ring if R<sub>1</sub> represents an aminoalkylene group, in which R<sub>7</sub> represents an alkyl radical, a phenyl ring which is optionally mono- or polysubstituted by (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, halogen, a nitro group, an amino function, (C<sub>1</sub>-C<sub>6</sub>)-alkylamino, benzhydryl group and bis-p-fluorobenzylhydryl group;]

R<sub>2</sub> represents

(1) hydrogen;

(2) a (C<sub>1</sub>-C<sub>6</sub>)-alkyl group,

said alkyl group being optionally mono- or polysubstituted by halogen or a phenyl ring,

which ring is optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, carbonyl groups, carboxyl groups esterified with (C<sub>1</sub>-C<sub>6</sub>)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy groups, ethoxy groups, or benzyloxy groups;

or by a 2-quinolyl group or a 2-,3- or 4-pyridyl structure

which are optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>4</sub>)-alkyl groups or (C<sub>1</sub>-C<sub>4</sub>)-alkoxy groups;

- (3) an aroyl radical, wherein the aroyl moiety on which the radical is based is a phenyl ring which is optionally mono- or polysubstituted by halogen, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, carbonyl groups, carboxyl groups esterified with (C<sub>1</sub>-C<sub>6</sub>)-alkanols, trifluoromethyl groups, hydroxyl groups, methoxy groups, ethoxy groups, or benzyloxy groups;

R<sub>3</sub> and R<sub>4</sub>, which are identical or different, represent hydrogen, hydroxyl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkanoyl, (C<sub>1</sub>-C<sub>6</sub>)-alkoxy, halogen, benzoxy, a nitro group, an amino group, a (C<sub>1</sub>-C<sub>4</sub>)-mono- or dialkyl substituted amino group, a (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonylamino function or a (C<sub>1</sub>-C<sub>3</sub>)-alkoxycarbonylamino-(C<sub>1</sub>-C<sub>3</sub>)-alkyl function; and

Z represents O or S;

wherein alkyl, alkanol, alkoxy and alkylamino groups may be straight chained or branched.